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NEWS 3
         JUL 28
                 EPFULL enhanced with additional legal status
                 information from the epoline Register
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         JUL 28
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         AUG 15 CAplus currency for Korean patents enhanced
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                 comprehensive access to substance and sequence
                 information
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                 to be discontinued
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         SEP 25
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                 to accommodate supplemental CAS indexing of
                 exemplified prophetic substances
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         SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and
                 and Korean patents enhanced
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                 IFICLS enhanced with new super search field
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         SEP 29 EMBASE and EMBAL enhanced with new search and
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         OCT 22 Current-awareness alert (SDI) setup and editing
                 enhanced
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         OCT 22
                 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                 Applications
NEWS 21
        OCT 24
                 CHEMLIST enhanced with intermediate list of
                 pre-registered REACH substances
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
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AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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100.0% PROCESSED 491 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

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8491 TO 11149 PROJECTED ITERATIONS: PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

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100.0% PROCESSED 9531 ITERATIONS 46 ANSWERS

SEARCH TIME: 00.00.01

L3 46 SEA SSS FUL L1

=> file hcaplus

SINCE FILE TOTAL ENTRY SESSION 180.66 180.87 COST IN U.S. DOLLARS FULL ESTIMATED COST

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=> s 13

L42 L3

=> s 14 and agejas-chicharro, f?/au 3 AGEJAS-CHICHARRO, F?/AU 1 L4 AND AGEJAS-CHICHARRO, F?/AU L5

=> d 15, ibib abs hitstr, 1

ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1103576 HCAPLUS

DOCUMENT NUMBER: 143:386923

TITLE: Preparation of pyridines as mGlu5 receptor antagonists

INVENTOR(S): Agejas-Chicharro, Francisco Javier;

Dressman, Bruce Anthony; Gutierrez Sanfeliciano, Sonia; Henry, Steven Scott; Martinez Perez, Jose Antonio; Massey, Steven Marc; Monn, James Allen;

Zia-Ebrahimi, Mohammad Sadegh

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 154 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.				KIND DATE		APPLICATION NO.											
WO	WO 2005094822			A1 20051013			WO 2005-US7507											
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
							RU,											
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
		MR,	ΝE,	SN,	TD,	TG	•	•	•	•	•	•	·			·	·	
EP	EP 1729771			A1 20061213			EP 2005-724939					20050309						
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR			
US					A1		20080814 US 2006-598512 200				0060	901						
PRIORIT	IORITY APPLN. INFO.:								US 2	004-	5551	37P		P 2	0040	322		
										WO 2	005-	US75	07		W 2	0050	309	
OTHER SO	HER SOURCE(S):			CAS	REAC	T 14	3:38	6923	; MA	RPAT	143	:386	923					

The invention is related to compds. I [Ar = (un)substituted Ph, naphthyl; R1 = H, halo, CN, CF3, CO2H and derivs., etc.; R2 = 1,2-ethenediyl, 1,2-ethynediyl], their pharmaceutically acceptable salts, and N-oxides as antagonists of the metabotropic glutamate (mGlu), particularly mGlu5, receptors (no data). I may be useful for treatment or prevention of disorders remedied by antagonism of the mGlu5 receptor (no data). The invention is also related to the preparation of pyridines I provided they are other than 5-(phenylethynyl)nicotinonitrile. For example, II was prepared, in 56% yield, by Pd-coupling of 3,4-difluoroiodobenzene with 5-ethynylnicotinonitrile. II may be particularly useful for the treatment of anxiety and/or pain.

of anxiety and/or pain.

IT 866683-66-1P, 5-(4-Fluoro-3-hydroxymethylphenylethynyl)nicotinonitrile 866685-84-9P,
5-(5-Cyanopyridin-3-ylethynyl)-2-fluorobenzoic acid 866685-88-3P

, 5-(5-Cyanopyridin-3-ylethynyl)-2-fluorobenzoic acid methyl ester 866686-19-3P, [5-(5-Cyanopyridin-3-ylethynyl)-2-

fluorobenzyl]carbamic acid tert-butyl ester 866686-50-2P,

5-(3-Amino-4-fluorophenylethynyl)nicotinonitrile

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of pyridines as mGlu5 receptor antagonists)

RN 866683-66-1 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[4-fluoro-3-(hydroxymethyl)phenyl]ethynyl]-(CA INDEX NAME)

$$C \equiv C$$
 N
 $O = C$
 $O = C$

RN 866685-84-9 HCAPLUS

CN Benzoic acid, 5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluoro- (CA INDEX NAME)

RN 866685-88-3 HCAPLUS

CN Benzoic acid, 5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluoro-, methyl ester (CA INDEX NAME)

RN 866686-19-3 HCAPLUS

CN Carbamic acid, [[5-[(5-cyano-3-pyridinyl)ethynyl]-2-fluorophenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 866686-50-2 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(3-amino-4-fluorophenyl)ethynyl]- (CA INDEX NAME)

IT 866684-05-1P, 5-(2-Chlorophenylethynyl)nicotinonitrile 866684-07-3P, 5-(3-Chlorophenylethynyl)nicotinonitrile 866684-08-4P, 5-(2-Fluorophenylethynyl)nicotinonitrile 866684-10-8P, 5-(3-Fluorophenylethynyl)nicotinonitrile

```
866684-12-0P, 5-(4-Fluorophenylethynyl)nicotinonitrile
866684-45-9P, 5-(4-Chlorophenylethynyl)nicotinonitrile
866684-85-7P, 5-(3,4-Difluorophenylethynyl)nicotinonitrile
866684-87-9P, 5-(3,5-Difluorophenylethynyl)nicotinonitrile
866684-88-0P, 5-(3,4,5-Trifluorophenylethynyl)nicotinonitrile
866685-08-7P, 5-(3-Chloro-4-fluorophenylethynyl)nicotinonitrile
866685-14-5P, 5-(5-Chloro-2-methoxyphenylethynyl)nicotinonitrile
866685-16-7P, 5-(3-Chloro-4-methoxyphenylethynyl)nicotinonitrile
866685-17-8P, 5-(3-Hydroxy-4-fluorophenylethynyl)nicotinonitrile
866685-19-0P, 5-(4-Fluoro-3-methoxyphenylethynyl)nicotinonitrile
866685-20-3P, 5-(4-Fluoro-3-ethoxyphenylethynyl)nicotinonitrile
866685-22-5P, 5-(4-Fluoro-3-
isopropoxyphenylethynyl)nicotinonitrile 866685-82-7P,
5-(5-Cyanopyridin-3-ylethynyl)-2-fluorobenzamide 866685-85-0P,
5-(5-Cyanopyridin-3-ylethynyl)-2-fluoro-N-methylbenzamide
866685-87-2P, 5-(5-Cyanopyridin-3-ylethynyl)-2-fluoro-N,N-
dimethylbenzamide 866686-16-0P,
N-[5-(5-Cyanopyridin-3-ylethynyl)-2-fluorobenzyl] acetamide
866686-17-1P, 5-(3-Aminomethyl-4-
fluorophenylethynyl)nicotinonitrile 866686-20-6P,
5-[[3-[(Dimethylamino)methyl]-4-fluorophenyl]ethynyl]nicotinonitrile
866686-22-8P, 5-(3-Cyanomethyl-4-
fluorophenylethynyl)nicotinonitrile 866686-24-0P,
N-[5-(5-Cyanopyridin-3-ylethynyl)-2-fluorobenzyl]methanesulfonamide
866686-25-1P, 5-[[3-[[(2-Cyanoethyl)(methyl)amino]methyl]-4-
fluorophenyl]ethynyl]nicotinonitrile 866686-27-3P,
5-[[4-Fluoro-3-[[(isopropyl)(methyl)amino]methyl]phenyl]ethynyl]nicotinoni
trile 866686-28-4P, 5-[[4-Fluoro-3-
[(isopropylamino)methyl]phenyl]ethynyl]nicotinonitrile
866686-30-8P, 5-[[4-Fluoro-3-
[(propylamino)methyl]phenyl]ethynyl]nicotinonitrile 866686-31-9P
, 5-[[4-Fluoro-3-[(ethylamino)methyl]phenyl]ethynyl]nicotinonitrile
866686-33-1P, 5-[[4-Fluoro-3-
[(methylamino)methyl]phenyl]ethynyl]nicotinonitrile 866686-38-6P
, [5-(5-Cyanopyridin-3-ylethynyl)-2-fluorobenzyl]carbamic acid ethyl ester
866686-49-9P, N-[5-(5-Cyanopyridin-3-ylethynyl)-2-
fluorophenyl]isobutyramide 866686-52-4P,
5-(4-Fluoro-3-nitrophenylethynyl)nicotinonitrile 866687-15-2P,
5-(3-Cyano-4-fluorophenylethynyl)nicotinonitrile 866687-21-0P,
N-[5-(5-Cyanopyridin-3-ylethynyl)-2-fluorophenyl] acetamide
866687-23-2P, N-[5-(5-Cyanopyridin-3-ylethynyl)-2-
fluorophenyl]methanesulfonamide 866687-24-3P,
N-[5-(5-Cyanopyridin-3-ylethynyl)-2-fluorophenyl]-N-
methylsulfonylmethanesulfonamide 866687-26-5P,
[5-(5-Cyanopyridin-3-ylethynyl)-2-fluorophenyl]carbamic acid methyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of pyridines as mGlu5 receptor antagonists)
866684-05-1 HCAPLUS
3-Pyridinecarbonitrile, 5-[2-(2-chlorophenyl)ethynyl]- (CA INDEX NAME)
```

RN CN

RN 866684-07-3 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(3-chlorophenyl)ethynyl]- (CA INDEX NAME)

RN 866684-08-4 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(2-fluorophenyl)ethynyl]- (CA INDEX NAME)

RN 866684-10-8 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(3-fluorophenyl)ethynyl]- (CA INDEX NAME)

RN 866684-12-0 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(4-fluorophenyl)ethynyl]- (CA INDEX NAME)

RN 866684-45-9 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(4-chlorophenyl)ethynyl]- (CA INDEX NAME)

RN 866684-85-7 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(3,4-difluorophenyl)ethynyl]- (CA INDEX NAME)

RN 866684-87-9 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(3,5-difluorophenyl)ethynyl]- (CA INDEX NAME)

$$F \qquad C = C \qquad K$$

$$F \qquad CN$$

RN 866684-88-0 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(3,4,5-trifluorophenyl)ethynyl]- (CA INDEX NAME)

$$F \qquad C = C \qquad C \qquad N$$

$$F \qquad CN$$

RN 866685-08-7 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(3-chloro-4-fluorophenyl)ethynyl]- (CA INDEX NAME)

$$C = C$$
 $C = C$
 $C = C$
 $C = C$

RN 866685-14-5 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(5-chloro-2-methoxyphenyl)ethynyl]- (CA INDEX NAME)

RN 866685-16-7 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(3-chloro-4-methoxyphenyl)ethynyl]- (CA INDEX NAME)

$$C = C$$

$$C1$$

$$CN$$

RN 866685-17-8 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(4-fluoro-3-hydroxyphenyl)ethynyl]- (CA INDEX NAME)

RN 866685-19-0 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(4-fluoro-3-methoxyphenyl)ethynyl]- (CA INDEX NAME)

RN 866685-20-3 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(3-ethoxy-4-fluorophenyl)] (CA INDEX NAME)

RN 866685-22-5 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[4-fluoro-3-(1-methylethoxy)phenyl]ethynyl]- (CA INDEX NAME)

RN 866685-82-7 HCAPLUS

CN Benzamide, 5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluoro- (CA INDEX NAME)

$$\begin{array}{c|c}
c & c \\
\hline
 & c \\
 & c \\
\hline
 & c \\
 &$$

RN 866685-85-0 HCAPLUS

CN Benzamide, 5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluoro-N-methyl- (CA INDEX NAME)

$$C \equiv C$$
 $MeNH-C$
 CN
 CN

RN 866685-87-2 HCAPLUS

CN Benzamide, 5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluoro-N,N-dimethyl- (CA INDEX NAME)

$$C = C$$

$$Me_2N - C$$

$$O$$

$$CN$$

RN 866686-16-0 HCAPLUS

CN Acetamide, N-[[5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluorophenyl]methyl]- (CA INDEX NAME)

$$c = c$$
 F

AcNH—CH₂
 $C = C$
 C
 C
 C

RN 866686-17-1 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[3-(aminomethyl)-4-fluorophenyl]ethynyl]- (CA INDEX NAME)

$$c = c$$
 H_2N-CH_2
 CN

RN 866686-20-6 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[3-[(dimethylamino)methyl]-4-fluorophenyl]ethynyl]- (CA INDEX NAME)

$$c = c$$
 Me_2N-CH_2
 CN

RN 866686-22-8 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[3-(cyanomethyl)-4-fluorophenyl]=(CA INDEX NAME)

$$C \equiv C$$
 $NC - CH_2$
 CN

RN 866686-24-0 HCAPLUS

CN Methanesulfonamide, N-[[5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluorophenyl]methyl]- (CA INDEX NAME)

$$C = C$$

$$CH_2-NH-S-Me CN$$

$$0$$

$$0$$

$$0$$

RN 866686-25-1 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[3-[[(2-cyanoethyl)methylamino]methyl]-4-fluorophenyl]ethynyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{C} \\ \text{C} \\ \text{NC-CH}_2\text{-CH}_2\text{-N-CH}_2 \\ \text{Me} \end{array}$$

RN 866686-27-3 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[4-fluoro-3-[[methyl(1-methylethyl)amino]methyl]phenyl]ethynyl]- (CA INDEX NAME)

$$c = c$$

$$i-Pr-N-CH_2$$

$$Me$$

RN 866686-28-4 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[4-fluoro-3-[[(1-methylethyl)amino]methyl]phenyl]ethynyl]- (CA INDEX NAME)

$$c = c$$
 $i-PrNH-CH_2$
 $c = c$
 N

RN 866686-30-8 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[4-fluoro-3-[(propylamino)methyl]phenyl]ethynyl]- (CA INDEX NAME)

RN 866686-31-9 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[3-[(ethylamino)methyl]-4-fluorophenyl]ethynyl]- (CA INDEX NAME)

$$c = c$$
 $E = c$
 $E = c$
 $C = c$
 C

RN 866686-33-1 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[4-fluoro-3-[(methylamino)methyl]phenyl]ethynyl]- (CA INDEX NAME)

$$c \equiv c$$
 $MeNH-CH_2$
 CN

866686-38-6 HCAPLUS RN

Carbamic acid, [[5-[(5-cyano-3-pyridinyl)ethynyl]-2-fluorophenyl]methyl]-, CN ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} c = c \\ \hline \\ EtO-C-NH-CH_2 \\ \hline \\ O \end{array}$$

RN

866686-49-9 HCAPLUS Propanamide, N-[5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluorophenyl]-2- $\overset{\circ}{-}$ CN methyl- (CA INDEX NAME)

$$\begin{array}{c|c}
C \longrightarrow C \\
\hline
 i-Pr-C-NH & CN \\
\hline
 O & C
\end{array}$$

866686-52-4 HCAPLUS RN

CN 3-Pyridinecarbonitrile, 5-[2-(4-fluoro-3-nitrophenyl)ethynyl]- (CA INDEX NAME)

$$C = C$$
 NO_2
 CN

866687-15-2 HCAPLUS RN

3-Pyridinecarbonitrile, 5-[2-(3-cyano-4-fluorophenyl)ethynyl]- (CA INDEX CN NAME)

$$C = C$$

$$CN$$

$$CN$$

RN 866687-21-0 HCAPLUS

CN Acetamide, N-[5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluorophenyl]- (CA INDEX NAME)

RN 866687-23-2 HCAPLUS

CN Methanesulfonamide, N-[5-[2-(5-cyano-3-pyridinyl)ethynyl]-2-fluorophenyl]- (CA INDEX NAME)

RN 866687-24-3 HCAPLUS

CN Methanesulfonamide, N-[5-[2-(5-cyano-3-pyridiny1)ethyny1]-2-fluoropheny1]-N-(methylsulfony1)- (CA INDEX NAME)

RN 866687-26-5 HCAPLUS

CN Carbamic acid, [5-[(5-cyano-3-pyridinyl)ethynyl]-2-fluorophenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$C = C$$

$$MeO-C-NH$$

$$CN$$

$$O$$

IT 866683-64-9P, 5-(3-Chloromethyl-4-

fluorophenylethynyl)nicotinonitrile 866683-74-1P,

5-(4-Fluoro-3-formylphenylethynyl)nicotinonitrile

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyridines as mGlu5 receptor antagonists)

RN 866683-64-9 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-[3-(chloromethyl)-4-fluorophenyl]ethynyl]- (CA INDEX NAME)

$$c \equiv c$$
 CH_2C1
 CN

RN 866683-74-1 HCAPLUS

CN 3-Pyridinecarbonitrile, 5-[2-(4-fluoro-3-formylphenyl)ethynyl]- (CA INDEX NAME)

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 18:08:42 ON 13 NOV 2008)

FILE 'REGISTRY' ENTERED AT 18:08:51 ON 13 NOV 2008 L1 STRUCTURE UPLOADED L2 1 S L1

L3 46 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 18:12:39 ON 13 NOV 2008

L4 2 S L3

L5 1 S L4 AND AGEJAS-CHICHARRO, F?/AU

=> s 14 not 15

L6 1 L4 NOT L5

=> s 16 and dressman, b?/au 27 DRESSMAN, B?/AU

L7 0 L6 AND DRESSMAN, B?/AU

=> d 16, ibib abs hitstr, 1

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:171869 HCAPLUS

DOCUMENT NUMBER: 136:232288

TITLE: Preparation of oxazolidinone chemotherapeutic agents INVENTOR(S): Sciotti, Richard J.; Djuric, Steven W.; Pliushchev,

Marina

PATENT ASSIGNEE(S): Abbott Laboratories, USA SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT 1	NO.	KIND	DATE	APPLICATION NO.	DATE		
WO 2002	018353	A2	20020307	WO 2001-US26346	20010823		
WO 2002	018353	А3	20020613				
W:	CA, JP, MX						
RW:	AT, BE, CH	CY, DE	, DK, ES,	FI, FR, GB, GR, IE,	IT, LU, MC, NL,		
	PT, SE, TF						
US 6277	868	B1	20010821	US 2000-652504	20000831		
US 2002	0045625	A1	20020418	US 2001-884735	20010619		
US 6410	728	В2	20020625				
PRIORITY APP	LN. INFO.:			US 2000-652504	A 20000831		
				US 2001-884735	A 20010619		
OTHER SOURCE GI	(S):	MARPAT	136:23228	88			

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of the formula I [A = Ph, substituted five-membered aromatic ring containing 1 or 2 atoms selected from N, O, and S and the remaining atoms are carbon, or substituted 6-membered aromatic ring containing 1 or 2 nitrogen atoms

and the remaining atoms are carbon; R1, R2 = independently H, alkyl, cycloalkyl, hydroxy, amino, halo, haloalkyl, and perfluoroalkyl; R3 =

optionally substituted alkyl, alkanoyl, carboxamido, cycloalkyl, cyclothioalkoxy, etc.; R4 = substituted N, O, or S] or therapeutically acceptable salts or prodrugs thereof were prepared Thus, Me 4-((4-((5S)-5-((acetylamino)methyl)-2-oxo-1,3-oxazolidin-3-yl)-2-fluorophenyl)ethynyl)benzoate (II) was synthesized in 6 steps from <math>(5R)-5-(hydroxymethyl)-1,3-oxazolidin-2-one (III). Oxazolidinones of formula I are useful for treating bacterial infections, psoriasis, arthritis, and toxicity due to chemotherapy. Preparation of the compds., compns. containing the compds., and treatment of diseases using the compds. are disclosed.

IT 402960-34-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(asym. synthesis of oxazolidinone chemotherapeutic agents)

RN 402960-34-3 HCAPLUS

CN Acetamide, N-[[(5S)-3-[4-[2-(5-cyano-3-pyridinyl)ethynyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

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L1 STRUCTURI L2 1 S L1

L3 46 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 18:12:39 ON 13 NOV 2008

L4 2 S L3

L5 1 S L4 AND AGEJAS-CHICHARRO, F?/AU

L6 1 S L4 NOT L5

L7 0 S L6 AND DRESSMAN, B?/AU

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L8 0 L3